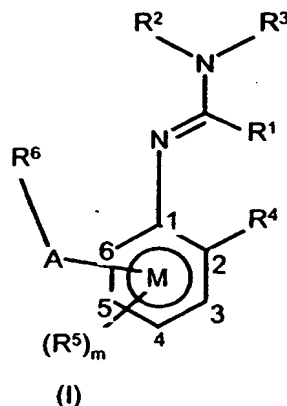


CLAIMS

- 5 1. Antifungal medicament, characterized in that it comprises at least one compound of formula (I):



10 in which:

- R^1 is an alkyl, an alkenyl, an alkynyl, a carbocyclic or heterocyclic monovalent group, it being possible for each of these groups to be substituted, or hydrogen;
- R^2 and R^3 , which may be identical or different, are any one of the groups defined for R^1 ; a cyano; an acyl; $-OR^a$ or $-SR^a$, with R^a corresponding to an alkyl, an alkenyl, an alkynyl, a carbocyclic or heterocyclic monovalent group, it being possible for each of these groups to be substituted, or R^2 and R^3 , or R^2 and R^1 may form together and with the atoms linking them, a ring which may be substituted;
- R^4 is an alkyl, an alkenyl, an alkynyl, a carbocyclic or heterocyclic monovalent group, it being possible for each of these groups to be substituted, a hydroxyl group; mercapto; azido; nitro; halo; cyano; unsubstituted or substituted acyl, amino; cyanato; thiocyanato; $-SF_5$; $-OR^a$; $-SR^a$ or $-Si(R^a)_3$;
 - $m = 0, 1, 2$ or 3 ;
 - the optional R^5 group or the optional R^5 groups, which may be mutually identical or different, have the same definition as that given above for R^4 ;
- R^6 is an unsubstituted or substituted carbocyclic or heterocyclic group; and
- A is a direct bond, $-O-$, $-S(O)_n-$, $-NR^9-$, $-CR^7=CR^7-$, $-C\equiv C-$, $-A^1-$, $-A^1-A^1$, $-O-(A^1)_k-O-$, $-O-(A^1)_k-$, $-A^3-$, $-A^4-$, $-A^1O-$, $-A^1S(O)_n-$, $-A^2-$, OA^2- , $-NR^9A^2-$, $-OA^2-A^1-$, $-OA^2-C(R^7)=C(R^8)-$, $-S(O)_nA^1-$, $-A^1-A^4-$, $-A^1-A^4-C(R^8)=N-N=CR^8-$, $-A^1-A^4-C(R^8)=N-X^2-X^3-$, $-A^1-A^4-A^3-$, $-A^1-A^4-N(R^9)-$, $-A^1-A^4-X-CH_2-$, $-A^1-A^4-A^1-$, $-A^1-A^4-CH_2X-$,

$-A^1-A^4-C(R^8)=N-X^2-X^3-X^1-$, $-A^1-X-C(R^8)=N-$,
 $-A^1-X-C(R^8)=N-N=CR^8-$, $-A^1-X-C(R^8)=N-N(R^9)-$, $-A^1-X-A-X^1-$,
 $-A^1-O-A^3-$, $-A^1-O-C(R^7)=C(R^8)-$, $-A^1-O-N(R^9)-A^2-N(R^9)-$,
 $-A^1-O-N(R^9)-A^2-$, $-A^1-N(R^9)-A^2-N(R^9)-$, $-A^1-N(R^9)-A^2-$,
 $-A^1-N(R^9)-N=C(R^8)-$, $-A^3-A^1-$, $-A^4-A^3-$, $-A^2-NR^9-$,
 $-A^1-A^2-X^1-$, $-A^1-A^1-A^2-X^1-$, $-O-A^2-N(R^9)-A^2-$, $-CR^7=CR^7-A^2-X^1-$,
 $-C\equiv C-A^2-X^1-$, $-N=C(R^8)-A^2-X^1-$, $-C(R^8)=N-N=C(R^8)-$,
 $-C(R^8)=N-N(R^9)-$, $-(CH_2)_2-O-N=C(R^8)-$ or $-X-A^2-N(R^9)-$

with

$n = 0, 1$ or 2 ,

$k = 1$ to 9 ,

$A^1 = -CHR^7-$,

$A^2 = -C(=X)-$,

$A^3 = -C(R^8)=N-O-$,

$A^4 = -O-N=C(R^8)-$,

$X = O$ or S ,

$X^1 = O, S, NR^9$ or a direct bond,

$X^2 = O, NR^9$ or a direct bond,

$X^3 = \text{hydrogen}, -C(=O)-, -SO_2-$ or a direct bond,

R^7 , which are mutually identical or different, each correspond to an unsubstituted or substituted alkyl, to a cycloalkyl or a phenyl, it being possible for each of these groups to be substituted, hydrogen, a halogen, a cyano, or an acyl;

R^8 , which are mutually identical or different, each correspond to an alkyl, an alkenyl, an alkynyl, an alkoxy, an alkylthio, it being possible for each of these groups to be substituted, a carbocyclic or heterocyclic monovalent group which may be unsubstituted or substituted, or hydrogen;

R^9 , which are mutually identical or different, each correspond to an unsubstituted or substituted alkyl, to a monovalent carbocyclic or heterocyclic group which may be unsubstituted or substituted, or to an acyl; or two R^9 groups may form together, and with the atoms linking them, a 5-7-membered ring;

the group represented on the right side of the bond A is linked to R^6 ;

or $-A-R^6$ and R^5 form together with the benzene ring M, a system of unsubstituted or substituted condensed rings;

▪ and the possible optic and/or geometric isomers, tautomers and salts, in particular addition salts with an acid or a base, which are pharmaceutically acceptable, of the derivatives of formula (I) ;

▪ and mixtures thereof.

5

2. Medicament according to Claim 1, characterized in that:

• R¹ is an alkyl, an alkenyl or an alkynyl, it being possible for each of these groups to be substituted with an alkoxy, a haloalkoxy, an alkylthiol, a halogen or a phenyl unsubstituted or substituted with an alkyl, with a haloalkyl, with an alkoxy, with a haloalkoxy, with an alkylthiol or with a halogen, or hydrogen;

10

• R² and R³ which may be identical or different and which have the same definition as that given above for R¹ or which correspond to an alkoxy, an alkoxyalkyl, a benzyloxy, a cyano or an alkylcarbonyl;

15

• R⁴ is an alkyl, an alkenyl or an alkynyl, it being possible for each of these groups to be substituted with an alkoxy, a haloalkoxy, an alkylthiol, a halogen or a phenyl unsubstituted or substituted with an alkyl, with a haloalkyl, with an alkoxy, with a haloalkoxy, with an alkylthiol or with a halogen; a hydroxyl; a halogen; a cyano; an acyl, an amine, a monoalkylamine, a dialkylamine or a phenyl unsubstituted or substituted with an alkyl, with a haloalkyl, with an alkoxy, with a haloalkoxy, or with an alkylthiol;

20

• m = 0 or 1;

• when it is present, R⁵ is a group having the same definition as that given above for R⁴.

25

• A is a direct bond, -O-, -S-, -NR⁹-, -CHR⁷- or -O-CHR⁷-,

with R⁹, when it is present, corresponding to an alkyl, an alkenyl or an alkynyl, it being possible for each of these groups to be substituted with an alkoxy, a haloalkoxy, an alkylthiol, a halogen or a phenyl unsubstituted or substituted with an alkyl, with a haloalkyl, with an alkoxy, with a haloalkoxy, with an alkylthiol or with a halogen, or corresponds to hydrogen;

30

and R⁷ has the same definition as that given above for R⁹ or represents a hydroxyl; a halogen; a cyano; an acyl; alkoxy; a haloalkoxy or an alkylthiol;

• A is linked to the 4-position of the benzene ring M; and

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• R⁶ is a phenyl or an aromatic heterocycle, unsubstituted or substituted with one or more substituents, which may be identical or different, and which may be selected from the following list: hydroxyl; halogen; cyano; acyl; amine; alkylamine; dialkylamine; alkyl, haloalkyl, R^aO-alkyl, acyloxyalkyl, cyanoxyalkyl, alkoxy; haloalkoxy; alkylthiol; cycloalkyl unsubstituted or

substituted with an alkyl, a haloalkyl, an alkoxy, a haloalkoxy or with an alkylthiol; and benzyl unsubstituted or substituted with an alkyl, a haloalkyl, an alkoxy, a haloalkoxy or with an alkylthiol.

3. Medicament according to Claim 1, characterized in that:

- 5
- $R^1 = H$
 - $R^2 = C_1-C_6$ alkyl, preferably ethyl;
 - $R^3 = C_1-C_6$ alkyl, preferably methyl;
 - $R^4 = C_1-C_6$ alkyl, preferably methyl;
 - $R^5 = C_1-C_6$ alkyl, preferably methyl and R^5 is linked to the carbon at C_5
- 10 of the benzyl ring M, with $m = 1$;
- A is linked to the carbon at C_4 of the benzyl ring M and represents $-O-$;
 - $R^6 =$ aryl, preferably benzyl, advantageously substituted with at least one alkyl and/or with at least one halogen.

15 4. Medicament according to Claim 3, characterized in that compound (I) is:

- *N*-ethyl-*N*-methyl-*N'*-[4-(4-chloro-3-trifluoromethylphenoxy)-2,5-dimethylphenyl]imidoformamide,
 - and/or *N*-ethyl-*N*-methyl-*N'*-[4-(4-fluoro-3-trifluoromethylphenoxy)-2,5-dimethylphenyl]imidoformamide,
 - 20 - and/or *N*-ethyl-*N*-methyl-*N'*-[4-(4-cyano-3-trifluoromethylphenoxy)-2,5-dimethylphenyl]imidoformamide,
- and the possible tautomers and salts, in particular addition salts with an acid or a base, which are pharmaceutically acceptable, of these compounds (I).

25 5. Medicament according to one of Claims 1 to 4, characterized in that it additionally comprises at least one other antifungal compound (II).

6. Medicament according to the preceding claim, characterized in that the antifungal compound (II) is chosen from the following antifungal families:

- 30
- azoles, such as bifonazole, butoconazole, clotrimazole, eberconazole, econazole, fenticonazole, fluconazole, itraconazole, ketoconazole, miconazole, oxiconazole, posaconazole, sulconazole, terconazole, tioconazole, voriconazole, zinoconazole;
 - polyenes, such as amphotericin B, nystatin;
 - allylamines and benzylamines, such as butenafine, naftifine, terbinafine;
 - 35 - thiocarbamates, such as tolnaftate;
 - candins, such as caspofungin, cilofungin;
 - nucleoside analogues, such as flucytosine;

- sordarins;
- polyoxines and nikkomycins, such as nikkomycins Z, J, pseudo J, PX, RZ, pseudo Z;
- pradimicins, such as pradimicin A;
- benanomycins;
- aureobasidins;
- UK-2A or UK-3A;
- cationic peptides;

taken alone or as a mixture, and their possible tautomers and salts, in particular addition salts with an acid or a base, their lipid or liposomal formulations, which are pharmaceutically acceptable.

7. Antifungal medicament according to Claim 4 or 5, characterized in that the mass ratio (I/II) is defined as follows:

	0.02	$\leq I/II \leq 50$
preferably	0.1	$\leq I/II \leq 20$
and still more preferably	0.5	$\leq I/II \leq 10$.

8. Antifungal medicament according to either of Claims 4 and 5, characterized in that the compound (I)/compound (II) ratio is chosen so as to produce a synergistic effect.

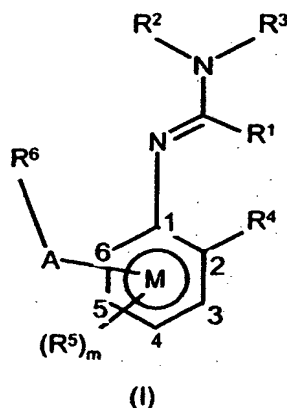
9. Antifungal medicament according to the preceding claim, characterized in that the compound (I)/compound (II) ratio is between 0.5 and 10.

10. Antifungal medicament according to one of the preceding claims, characterized in that it additionally comprises at least one pharmaceutically acceptable excipient.

11. Antifungal medicament according to one of the preceding claims, characterized in that it comprises from 0.5 to 99% of the combination of compound (I) and compound (II).

12. Use, for the manufacture of an antifungal medicament, of at least one compound of formula (I)

20



in which:

- R^1 is an alkyl, an alkenyl, an alkynyl, a carbocyclic or heterocyclic monovalent group, it being possible for each of these groups to be substituted, or hydrogen;
 - R^2 and R^3 , which may be identical or different, are any one of the groups defined for R^1 ; a cyano; an acyl; $-OR^a$ or $-SR^a$, with R^a corresponding to an alkyl, an alkenyl, an alkynyl, a carbocyclic or heterocyclic monovalent group, it being possible for each of these groups to be substituted, or R^2 and R^3 , or R^2 and R^1 may form together and with the atoms linking them, a ring which may be substituted;
 - R^4 is an alkyl, an alkenyl, an alkynyl, a carbocyclic or heterocyclic monovalent group, it being possible for each of these groups to be substituted, a hydroxyl group; mercapto; azido; nitro; halo; cyano; unsubstituted or substituted acyl, amino; cyanato; thiocyanato; $-SF_5$; $-OR^a$; $-SR^a$ or $-Si(R^a)_3$;
 - $m = 0, 1, 2$ or 3 ;
 - the optional R^5 group or the optional R^5 groups, which may be mutually identical or different, have the same definition as that given above for R^4 ;
 - R^6 is an unsubstituted or substituted carbocyclic or heterocyclic group; and
- A is a direct bond, $-O-$, $-S(O)_n-$, $-NR^9-$, $-CR^7=CR^7-$, $-C\equiv C-$, $-A^1-$, $-A^1-A^1-$, $-O-(A^1)_k-O-$, $-O-(A^1)_k-$, $-A^3-$, $-A^4-$, $-A^1O-$, $-A^1S(O)_n-$, $-A^2-$, OA^2- , $-NR^9A^2-$, $-OA^2-A^1-$, $-OA^2-C(R^7)=C(R^8)-$, $-S(O)_nA^1-$, $-A^1-A^4-$, $-A^1-A^4-C(R^8)=N-N=CR^8-$, $-A^1-A^4-C(R^8)=N-X^2-X^3-$, $-A^1-A^4-A^3-$, $-A^1-A^4-N(R^9)-$, $-A^1-A^4-X-CH_2-$, $-A^1-A^4-A^1-$, $-A^1-A^4-CH_2X-$, $-A^1-A^4-C(R^8)=N-X^2-X^3-X^1-$, $-A^1-X-C(R^8)=N-$, $-A^1-X-C(R^8)=N-N=CR^8-$, $-A^1-X-C(R^8)=N-N(R^9)-$, $-A^1-X-A^1-X^1-$, $-A^1-O-A^3-$, $-A^1-O-C(R^7)=C(R^8)-$, $-A^1-O-N(R^9)-A^2-N(R^9)-$, $-A^1-O-N(R^9)-A^2-$, $-A^1-N(R^9)-A^2-N(R^9)-$, $-A^1-N(R^9)-A^2-$, $-A^1-N(R^9)-N=C(R^8)-$, $-A^3-A^1-$, $-A^4-A^3-$, $-A^2-NR^9-$, $-A^1-A^2-X^1-$, $-A^1-A^1-A^2-X^1-$, $-O-A^2-N(R^9)-A^2-$, $-CR^7=CR^7-A^2-X^1-$, $-C\equiv C-A^2-X^1-$, $-N=C(R^8)-A^2-X^1-$, $-C(R^8)=N-N=C(R^8)-$,

$-\text{C}(\text{R}^8)=\text{N}-\text{N}(\text{R}^9)-$, $-(\text{CH}_2)_2-\text{O}-\text{N}=\text{C}(\text{R}^8)-$ or $-\text{X}-\text{A}^2-\text{N}(\text{R}^9)-$

with

$n = 0, 1$ or 2 ,

$k = 1$ to 9 ,

5 $\text{A}^1 = -\text{CHR}^7-$,

$\text{A}^2 = -\text{C}(=\text{X})-$,

$\text{A}^3 = -\text{C}(\text{R}^8)=\text{N}-\text{O}-$,

$\text{A}^4 = -\text{O}-\text{N}=\text{C}(\text{R}^8)-$,

$\text{X} = \text{O}$ or S ,

10 $\text{X}^1 = \text{O}, \text{S}, \text{NR}^9$ or a direct bond,

$\text{X}^2 = \text{O}, \text{NR}^9$ or a direct bond,

$\text{X}^3 = \text{hydrogen}, -\text{C}(=\text{O})-, -\text{SO}_2-$ or a direct bond,

15 R^7 , which are mutually identical or different, each correspond to an unsubstituted or substituted alkyl, to a cycloalkyl or a phenyl, it being possible for each of these groups to be substituted, hydrogen, a halogen, a cyano, or an acyl;

R^8 , which are mutually identical or different, each correspond to an alkyl, an alkenyl, an alkynyl, an alkoxy, an alkylthio, it being possible for each of these groups to be substituted, a carbocyclic or heterocyclic monovalent group which may be unsubstituted or substituted, or hydrogen;

20 R^9 , which are mutually identical or different, each correspond to an unsubstituted or substituted alkyl, to a carbocyclic or heterocyclic monovalent group which may be unsubstituted or substituted, or to an acyl; or two R^9 groups may form together, and with the atoms linking them, a 5-7-membered ring;

25 the group represented on the right side of the bond A is linked to R^6 ;

or $-\text{A}-\text{R}^6$ and R^5 form together with the benzene ring M, a system of unsubstituted or substituted condensed rings;

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- and the possible optic and/or geometric isomers, tautomers and salts, in particular addition salts with an acid or a base, which are pharmaceutically acceptable, of the derivatives of formula (I) ;

- and mixtures thereof;

the said compound (I) being taken alone or in combination with another antifungal compound (II).

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13. Use according to the preceding claim, characterized in that the antifungal compound (II) is chosen from the following antifungal families:

- azoles, such as bifonazole, butoconazole, clotrimazole, eberconazole, econazole, fenticonazole, fluconazole, itraconazole, ketoconazole, miconazole, oxiconazole, posaconazole, sulconazole, terconazole, tioconazole, voriconazole, zinoconazole;
- polyenes, such as amphotericin B, nystatin;
- allylamines and benzylamines, such as butenafine, naftifine, terbinafine;
- thiocarbamates, such as tolnaftate;
- candins, such as caspofungin, cilofungin;
- nucleoside analogues, such as flucytosine;
- sordarins;
- polyoxines and nikkomycins, such as nikkomycins Z, J, pseudo J, PX, RZ, pseudo Z;
- pradimicins, such as pradimicin A;
- benanomycins;
- aureobasidins;
- UK-2A or UK-3A;
- cationic peptides;

taken alone or as a mixture, and their possible tautomers and salts, in particular addition salts with an acid or a base, their lipid or liposomal formulations, which are pharmaceutically acceptable.

14. Use of an antifungal medicament according to one of Claims 1 to 11, for the treatment of *Candida albicans* infections.

15. Use of an antifungal medicament according to one of Claims 1 to 11, for the treatment of *Aspergillus fumigatus* infections.